

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	0	(androgen adj receptor) same agnoist same antagonist	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2008/01/15 16:20
L2	306	(androgen adj receptor) same agonist same antagonist	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2008/01/15 16:20
L3	2	I2 same IC50	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2008/01/15 16:20
L4	23	ligand same agonist same antagonist same IC50	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	OFF	2008/01/15 16:21

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	3	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	4	AUG 13	CA/Capplus enhanced with additional kind codes for granted patents
NEWS	5	AUG 20	CA/Capplus enhanced with CAS indexing in pre-1907 records
NEWS	6	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS	7	AUG 27	USPATOLD now available on STN
NEWS	8	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS	9	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS	10	SEP 13	FORIS renamed to SOFIS
NEWS	11	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS	12	SEP 17	CA/Capplus enhanced with printed CA page images from 1967-1998
NEWS	13	SEP 17	Caplus coverage extended to include traditional medicine patents
NEWS	14	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	15	OCT 02	CA/Capplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	16	OCT 19	BEILSTEIN updated with new compounds
NEWS	17	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	18	NOV 19	WPIX enhanced with XML display format
NEWS	19	NOV 30	ICSD reloaded with enhancements
NEWS	20	DEC 04	LINPADOCDB now available on STN
NEWS	21	DEC 14	BEILSTEIN pricing structure to change
NEWS	22	DEC 17	USPATOLD added to additional database clusters
NEWS	23	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	24	DEC 17	DGENE now includes more than 10 million sequences
NEWS	25	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	26	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	27	DEC 17	CA/Capplus enhanced with new custom IPC display formats
NEWS	28	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	29	JAN 02	STN pricing information for 2008 now available
NEWS EXPRESS	19	SEPTEMBER 2007:	CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
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FILE 'HOME' ENTERED AT 16:47:55 ON 15 JAN 2008

=> file .meeting

'EVENTLINE' IS NOT A VALID FILE NAME

Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files that are available. If you have requested multiple files, you can specify a corrected file name or you can enter "IGNORE" to continue accessing the remaining file names entered.

ENTER A FILE NAME OR (IGNORE):ignore

'IMSDRUGCONF' IS NOT A VALID FILE NAME

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ENTER A FILE NAME OR (IGNORE):ignore

'MEDICONF' IS NOT A VALID FILE NAME

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ENTER A FILE NAME OR (IGNORE):ignore

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'AGRICOLA' ENTERED AT 16:48:24 ON 15 JAN 2008

FILE 'BIOTECHNO' ENTERED AT 16:48:24 ON 15 JAN 2008

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FILE 'PASCAL' ENTERED AT 16:48:24 ON 15 JAN 2008

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=> chen f/au

L1	268	FILE AGRICOLA
L2	361	FILE BIOTECHNO
L3	160	FILE CONFSCI
L4	2	FILE HEALSAFE
L5	305	FILE LIFESCI
L6	441	FILE PASCAL

TOTAL FOR ALL FILES

L7 1537 CHEN F/AU

=> l7 and IC50

L8 0 FILE AGRICOLA  
L9 0 FILE BIOTECHNO  
L10 0 FILE CONFSCI  
L11 0 FILE HEALSAFE  
L12 0 FILE LIFESCI  
L13 0 FILE PASCAL

TOTAL FOR ALL FILES

L14 0 L7 AND IC50

=> l7 and agonist

L15 0 FILE AGRICOLA  
L16 2 FILE BIOTECHNO  
L17 0 FILE CONFSCI  
L18 0 FILE HEALSAFE  
L19 0 FILE LIFESCI  
L20 1 FILE PASCAL

TOTAL FOR ALL FILES

L21 3 L7 AND AGONIST

=> dup rem

ENTER L# LIST OR (END):l21

PROCESSING COMPLETED FOR L21

L22 3 DUP REM L21 (0 DUPLICATES REMOVED)

=> d l22 ibib abs total

L22 ANSWER 1 OF 3 PASCAL COPYRIGHT 2008 INIST-CNRS. ALL RIGHTS RESERVED. on  
STN

ACCESSION NUMBER: 2004-0514959 PASCAL

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TITLE (IN ENGLISH): Partial agonist/antagonist properties of androstenedione and 4-androsten-3 $\beta$ , 17 $\beta$ -diol

AUTHOR: CHEN F.; KNECHT K.; LEU C.; RUTLEDGE S. J.; SCAFONAS A.; GAMBONE C.; VOGEL R.; ZHANG H.; KASPARCOVA V.; BAI C.; HARADA S.; SCHMIDT A.; RESZKA A.; FREEDMAN L.

CORPORATE SOURCE: Department of Molecular Endocrinology, Merck Research Laboratory, WP26A-1000, Summeytown Pike, West Point, PA 19486, United States

SOURCE: Journal of steroid biochemistry and molecular biology, (2004), 91(4-5), 247-257, 38 refs.  
ISSN: 0960-0760

DOCUMENT TYPE: Journal

BIBLIOGRAPHIC LEVEL: Analytic

COUNTRY: United Kingdom

LANGUAGE: English

AVAILABILITY: INIST-14629, 354000114147950070

AN 2004-0514959 PASCAL

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AB Androgens play important endocrine roles in development and physiology. Here, we characterize activities of two "Andro" prohormones, androstenedione (A-dione) and 4-androsten-3 $\beta$ ,17 $\beta$ -diol (A-diol) in MDA-MB-453 (MDA) and LNCaP cells. A-dione and A-diol, like cyproterone acetate, were partial agonists of transfected mouse mammary tumor virus (MMTV) and endogenous prostate-specific antigen (PSA) promoters. Different from bicalutamide but similar to CPA, both are

inducers of LNCaP cell proliferation with only mild suppression of 5 $\alpha$ -dihydrotestosterone (DHT)-enhanced cell growth. Like bicalutamide and cyproterone acetate, A-dione and A-diol significantly antagonized DHT/R1881-induced PSA expression by up to 30% in LNCaP cells. Meanwhile, in MDA cells, EC.sub.5.sub.0s for the MMTV promoter were between 10 and 100 nM. Co-factor studies showed GRIP I as most active for endogenous androgen receptor (AR), increasing MMTV transcription by up to five-fold, without substantially altering EC.sub.5.sub.0s of DHT, A-dione or A-diol. Consistent with their transcriptional activities, A-dione and A-diol bound full-length endogenous AR from MDA or LNCaP cells with affinities of 30-70 nM, although binding to expressed ligand-binding domain (LBD) was >20-fold weaker. In contrast, DHT, R1881, and bicalutamide bound similarly to LBD or aporeceptor. Together, these data suggest that A-dione and A-diol are ligands for AR with partial agonist/antagonist activities in cell-based transcription assays. Binding affinities for both are most accurately assessed by AR aporeceptor complex. In addition to being testosterone precursors in vivo, either may impart its own transcriptional regulation of AR.

L22 ANSWER 2 OF 3 BIOTECHNO COPYRIGHT 2008 Elsevier Science B.V. on STN  
 ACCESSION NUMBER: 1998:28110320 BIOTECHNO  
 TITLE: Glycoprotein IIb Leu214Pro mutation produces Glanzmann thrombasthenia with both quantitative and qualitative abnormalities in GPIIb/IIIa  
 AUTHOR: Grimaldi C.M.; Chen F.; Wu C.; Weiss H.J.; Collier B.S.; French D.L.  
 CORPORATE SOURCE: Dr. D.L. French, Division of Hematology, Department of Medicine, Mount Sinai School of Medicine, One Gustave L. Levy Place, New York, NY 10029, United States.  
 SOURCE: Blood, (01 MAR 1998), 91/5 (1562-1571), 61 reference(s)  
 CODEN: BLOOAW ISSN: 0006-4971  
 DOCUMENT TYPE: Journal; Article  
 COUNTRY: United States  
 LANGUAGE: English  
 SUMMARY LANGUAGE: English  
 AN 1998:28110320 BIOTECHNO  
 AB Glanzmann thrombasthenia is an inherited bleeding disorder due to a functional reduction or absence of platelet GPIIb/IIIa ( $\alpha$ (IIIb) $\beta$ .sub.3) integrin receptors. Based on a prolonged bleeding time and absence of platelet aggregation in response to physiologic agonists, a 55-year-old white man was diagnosed as having Glanzmann thrombasthenia. The patient's platelet fibrinogen level was <5% of normal. As judged by complex-dependent monoclonal antibody (MoAb) binding, surface expression of platelet GPIIb/IIIa receptors was less than 5.5% of normal, whereas the binding of an anti-GPIIIa specific MoAb (7H2) was .simeq.12% of normal. Immunoblot analysis of the patient's platelet lysates showed .simeq.35% of normal levels of GPIIIa, .simeq.30% of normal levels of GPIIb, and an abnormally migrating fragment of GPIIb. Biotinylation of the surface proteins on the patient's platelets followed by immunoprecipitation and sodium dodecyl sulfate-polyacrylamide gel electrophoresis (SDS-PAGE) analysis showed only GPIIb and GPIIIa subunits of normal size. Surface expression of platelet  $\alpha$ (v) $\beta$ .sub.3 receptors was 192% of normal, suggesting that the patient's defect was in GPIIb. Sequence analysis of the patient's GPIIb cDNA identified a T to C transition at nucleotide 643, predicting a Leu214Pro substitution. Direct sequencing of GPIIb exon 6 indicated that the patient is homozygous for the mutation. The nature of the Leu214Pro mutation was analyzed by expression in Chinese hamster ovary (CHO) cells. As judged by subunit-specific MoAb binding, surface expression of mutant receptors was .simeq.60% of normal, but these receptors were not recognized by the complex-dependent monoclonal antibodies, 10E5 and 7E3. In addition, mutant receptors pretreated with the ligand-induced binding site MoAb AP5 were not recognized by the

activation-dependent MoAb PAC-1 and mutant expressing CHO cells did not adhere to immobilized fibrinogen. These data suggest that the Leu214Pro mutation in GPIIb disrupts the structural conformation, and either directly or indirectly, the ligand binding properties of the heterodimeric complex. This is in accord with studies from other integrins that have implicated a  $\beta$ -turn in a homologous region as important in ligand binding. Thus, the Leu214Pro mutation appears to produce the Glanzmann thrombasthenia phenotype by both qualitative and quantitative abnormalities. In addition, the mutation appears to confer susceptibility of the GPIIb subunit to proteolysis.

L22 ANSWER 3 OF 3 BIOTECHNO COPYRIGHT 2008 Elsevier Science B.V. on STN  
ACCESSION NUMBER: 1998:28105300 BIOTECHNO  
TITLE: Distribution of GABA(A) receptors in the limbic system of alcohol-preferring and non-preferring rats: In situ hybridisation histochemistry and receptor autoradiography  
AUTHOR: Chen F.; Rezvani A.; Jarrott B.; Lawrence A.J.  
CORPORATE SOURCE: A.J. Lawrence, Department of Pharmacology, Monash University, Wellington Road, Clayton, Vic. 3168, Australia.  
E-mail: Andrew.Lawrence@med.monash.edu.au  
SOURCE: Neurochemistry International, (1998), 32/2 (143-151), 41 reference(s)  
CODEN: NEUIDS ISSN: 0197-0186  
PUBLISHER ITEM IDENT.: S0197018697000697  
DOCUMENT TYPE: Journal; Article  
COUNTRY: United Kingdom  
LANGUAGE: English  
SUMMARY LANGUAGE: English  
AN 1998:28105300 BIOTECHNO  
AB The present study has employed quantitative receptor autoradiography and in situ hybridisation histochemistry to compare the expression of the mRNA encoding the  $\alpha$ .sub.1 and  $\alpha$ .sub.2 subunits of the GABA(A) receptor and the binding density of mature GABA(A) receptors in the limbic system of alcohol-preferring Fawn-Hooded rats (FH) with Wistar-Kyoto rats (WKY). Quantifiable levels of mRNA encoding the  $\alpha$ .sub.1 subunit were found in cortical regions, ventral pallidum, substantia nigra, horizontal limb of the diagonal band and the hippocampus of both rat strains. Interestingly, expression of the  $\alpha$ .sub.1 subunit mRNA was decreased by approximately 30% in the hippocampus of FH compared to WKY rats. Following a 28-day period with free access to 10% ethanol, expression of the  $\alpha$ .sub.1 subunit transcript, was significantly increased in the piriform cortex and horizontal limb of the diagonal band, unaltered in the hippocampus but decreased in the substantia nigra of FH rats. Quantifiable levels of mRNA encoding the  $\alpha$ .sub.2 subunit were found in nucleus accumbens, amygdala, cortical regions, lateral septal nucleus, hippocampus, medial habenula and ventral pallidum of both strains. Expression of the  $\alpha$ .sub.2 subunit mRNA was decreased by approximately 35% in both the hippocampus and occipital cortex of FH compared to WKY rats. However, consumption of 10% ethanol in FH rats had no impact upon expression of the mRNA encoding the  $\alpha$ .sub.2 subunit in any region examined. Mature GABA(A) receptors were studied by autoradiography utilising the antagonist radioligand  $\phi$ .sup.3H!SR95531 and the agonist radioligand  $\phi$ .sup.3H!muscimol. Topographic binding throughout the limbic system of both strains was observed for both radioligands. Specifically,  $\phi$ .sup.3H!SR95531 binding was higher in the occipital cortex, hippocampus, lateral septal nucleus, superior colliculus and ventral pallidum of the FH rats compared to WKY rats; however, in the nucleus accumbens  $\phi$ .sup.3H!SR95531 binding was lower in FH compared to WKY. Ethanol consumption had no measurable effect on the binding of  $\phi$ .sup.3H!SR95531 in FH rats. In the case of

¢.sup.3H!muscimol, binding was higher in the cortex, lateral septum and ventral pallidum of FH compared to WKY. Furthermore, ethanol consumption resulted in a 25-30% increase in ¢.sup.3H!muscimol binding in the lateral septum and striatum of FH rats. These data provide evidence for differential expression of GABA(A) receptor subunits in FH and WKY rats, and additionally indicate anatomically defined variations in GABA(A) receptor binding between the two rat strains.

=> mixed(8A) (agonist) (6A) (antagonist) (10A) (IC50)

L23 0 FILE AGRICOLA  
L24 0 FILE BIOTECHNO  
L25 0 FILE CONFSCI  
L26 0 FILE HEALSAFE  
L27 0 FILE LIFESCI  
L28 0 FILE PASCAL

TOTAL FOR ALL FILES

L29 0 MIXED(8A) (AGONIST) (6A) (ANTAGONIST) (10A) (IC50)

=> mixed(8A) (agonist) (6A) (antagonist)

L30 7 FILE AGRICOLA  
L31 77 FILE BIOTECHNO  
L32 9 FILE CONFSCI  
L33 2 FILE HEALSAFE  
L34 225 FILE LIFESCI  
L35 236 FILE PASCAL

TOTAL FOR ALL FILES

L36 556 MIXED(8A) (AGONIST) (6A) (ANTAGONIST)

=> l36 and IC50

L37 0 FILE AGRICOLA  
L38 0 FILE BIOTECHNO  
L39 0 FILE CONFSCI  
L40 0 FILE HEALSAFE  
L41 1 FILE LIFESCI  
L42 0 FILE PASCAL

TOTAL FOR ALL FILES

L43 1 L36 AND IC50

=> d l43 ibib abs total

L43 ANSWER 1 OF 1 LIFESCI COPYRIGHT 2008 CSA on STN

ACCESSION NUMBER: 84:97738 LIFESCI

TITLE: Regulation of opioid antagonist and mu, kappa or delta agonist binding by guanine nucleotide and sodium.

AUTHOR: Ishizuka, Y.; Oka, T.

CORPORATE SOURCE: Dep. Pharmacol., Sch. Med., Tokai Univ., Isehara 259-11, Japan

SOURCE: JAP. J. PHARMACOL., (1984) vol. 36, no. 3, pp. 397-405.

DOCUMENT TYPE: Journal

FILE SEGMENT: N3; M

LANGUAGE: English

SUMMARY LANGUAGE: English

AB Effects of 5'-guanylylimidodiphosphate (Gpp(NH)p) and sodium on the inhibition by various opioids of ( super(3)H)-naloxone binding to guinea-pig brain membrane preparations were studied. The ratio of the concentration required to produce a 50% inhibition of ( super(3)H)-naloxone binding in the presence of both Gpp(NH)p and sodium to that in the absence of both GPP(NH)p and sodium was less than 1 for antagonists, from 3 to 10 for mixed agonist-antagonists, from 16 to 85 for either kappa, delta, or peptide mu

agonists, and more than 200 for morphine-like non-peptide mu agonists. Exceptionally, the IC50 ratio of N,N-diallyl-(D-Ala super(2), D-Leu super(5))-enkephalin, an opioid which had been shown not to have an agonist activity in guinea-pig ileum but to have a naloxone-reversible agonist activity in mouse vas deferens, was less than 1. The significance of the different IC50 ratio among opioids employed in the present study was discussed.

=> (agonist) (6A) (antagonist) (10A) (IC50) (5A) (ratio)

L44 0 FILE AGRICOLA  
L45 0 FILE BIOTECHNO  
L46 0 FILE CONFSCI  
L47 0 FILE HEALSAFE  
L48 0 FILE LIFESCI  
L49 0 FILE PASCAL

TOTAL FOR ALL FILES

L50 0 (AGONIST) (6A) (ANTAGONIST) (10A) (IC50) (5A) (RATIO)

=> (agonist) and (antagonist) and (IC50) and (ratio)

L51 0 FILE AGRICOLA  
L52 0 FILE BIOTECHNO  
L53 0 FILE CONFSCI  
L54 0 FILE HEALSAFE  
L55 2 FILE LIFESCI  
L56 0 FILE PASCAL

TOTAL FOR ALL FILES

L57 2 (AGONIST) AND (ANTAGONIST) AND (IC50) AND (RATIO)

=> dup rem

ENTER L# LIST OR (END):L57

PROCESSING COMPLETED FOR L57

L58 2 DUP REM L57 (0 DUPLICATES REMOVED)

=> d l58 ibib abs total

L58 ANSWER 1 OF 2 LIFESCI COPYRIGHT 2008 CSA on STN

ACCESSION NUMBER: 86:15032 LIFESCI

TITLE: Agonist and antagonist actions of buprenorphine on three types of opioid receptor in isolated preparations.

AUTHOR: Kajiwara, M.; Aoki, K.; Ishii, K.; Numata, H.; Matsumiya, T.; Oka, T.

CORPORATE SOURCE: Dep. Pharmacol., Sch. Med., Tokai Univ., Isehara 259-11, Japan

SOURCE: JAP. J. PHARMACOL., (1986) vol. 40, no. 1, pp. 95-101.

DOCUMENT TYPE: Journal

FILE SEGMENT: N3

LANGUAGE: English

SUMMARY LANGUAGE: English

AB Both agonist and antagonist actions of buprenorphine on isolated preparations were studied. The K sub(e) (equilibrium dissociation constant) values of both naloxone and Mr 2266 against buprenorphine and the ratio of IC50 (concentration of the drug to produce 50% inhibition of the twitch) value of buprenorphine after to before exposure of mouse vas deferens to beta -FNA ( beta -fumaramate methyl ester derivatives of naltrexone), an irreversible mu antagonist, suggest that buprenorphine acts as both a mu and kappa agonist on mouse vas deferens. The agonist effect of buprenorphine at relatively high doses on guinea-pig ileum and mouse vas deferens and the negative agonists effect on both rat and rabbit vas deferens indicate that buprenorphine acts as a partial agonist

on isolated preparations.

L58 ANSWER 2 OF 2 LIFESCI COPYRIGHT 2008 CSA on STN  
ACCESSION NUMBER: 84:97738 LIFESCI  
TITLE: Regulation of opioid antagonist and mu, kappa or  
delta agonist binding by guanine nucleotide and  
sodium.  
AUTHOR: Ishizuka, Y.; Oka, T.  
CORPORATE SOURCE: Dep. Pharmacol., Sch. Med., Tokai Univ., Isehara 259-11,  
Japan  
SOURCE: JAP. J. PHARMACOL., (1984) vol. 36, no. 3, pp. 397-405.  
DOCUMENT TYPE: Journal  
FILE SEGMENT: N3; M  
LANGUAGE: English  
SUMMARY LANGUAGE: English  
AB Effects of 5'-guanylylimidodiphosphate (Gpp(NH)p) and sodium on the  
inhibition by various opioids of ( super(3)H)-naloxone binding to  
guinea-pig brain membrane preparations were studied. The ratio  
of the concentration required to produce a 50% inhibition of ( super(3)H)-naloxone binding in the presence of both Gpp(NH)p and sodium to  
that in the absence of both GPP(NH)p and sodium was less than 1 for  
antagonists, from 3 to 10 for mixed agonist-antagonists  
, from 16 to 85 for either kappa, delta, or peptide mu agonists,  
and more than 200 for morphine-like non-peptide mu agonists.  
Exceptionally, the IC50 ratio of N,N-diallyl-(D-Ala  
super(2), D-Leu super(5))-enkephalin, an opioid which had been shown not  
to have an agonist activity in guinea-pig ileum but to have a  
naloxone-reversible agonist activity in mouse vas deferens, was  
less than 1. The significance of the different IC50  
ratio among opioids employed in the present study was discussed.

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

29.21

29.42

FILE 'STNGUIDE' ENTERED AT 16:55:42 ON 15 JAN 2008

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